

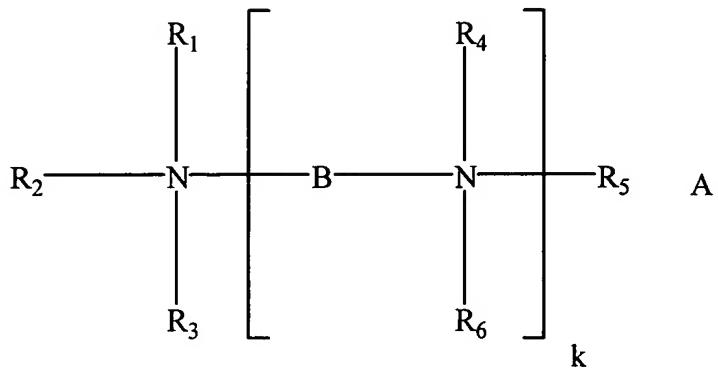
AMENDMENTS TO THE CLAIMS

1-17. (CANCELED).

18. (CURRENTLY AMENDED) A cationic cytofectin having the ability of composition for the intracellular delivery of exogenous compounds into cells, said cytofectin having the formula composition comprising:

- a) a cationic cytofectin;
- b) an exogenous compound desired for intracellular delivery; and
- c) optionally, a neutral lipid;

wherein said cationic cytofectin is a compound of the formula:



wherein,

A is chloride, bromide, iodide, hydrogen phosphate (HPO₄²⁻), dihydrogen phosphate (H₂PO₄⁻), sulfate, thiosulfate, hydroxy, or oxalate;

k is 1, 2, 3, 4 or 5;

B is the alkandiy bridge (CH₂)_n, wherein n is 1, 2, 3, 4, 5, 6, 7, 8, 9, or 10;

R₁, R₃, R₄, are identical or different, and denote hydrogen, straight-chained or branched C₁-C₆-alkyl, C₁-C₆-alkenyl, or C₁-C₆-alkynyl;

R₂ is straight-chained or branched C₈-C₂₀-alkyl, C₈-C₂₀-alkenyl, or C₈-C₂₀-alkynyl;

R₅ is, when k=1, straight-chained or branched C₈-C₂₀-alkyl, C₈-C₂₀-alkenyl, C₈-C₂₀

alkynyl; and R₅ is, when k>1, hydrogen, straight-chained or branched C₁-C₆-alkyl, C₁-C₆-alkenyl, C₁-C₆-alkynyl; and

R₆ is, when k=1, hydrogen, straight-chained or branched C₁-C₆-alkyl, C₁-C₆-alkenyl, C₁-C₆-alkynyl; and R₆ is, when k>1, straight-chained or branched C₈-C₂₀-alkyl, C₈-C₂₀-alkenyl, C₈-C₂₀-alkynyl, and the repeating unit -B-NR₄R₆ is identical to or different from one another; and wherein said exogenous compound desired for intracellular delivery is selected from the group consisting of nucleic acids, peptides, peptide derivatives, proteins, protein derivatives, steroids, hormones, carbohydrates, and pharmaceutical compounds.

19. (CURRENTLY AMENDED) The ~~cationic cytofeetin~~ composition according to claim 18, wherein

k is 1, 2, or 3;

n is 1, 2, 3, 4, 5, or 6;

R₁, R₃, R₄, are identical or different, and denote hydrogen, straight-chained or branched C₁-C₆-alkyl;

R₅ is, when k=1, straight chained or branched C₈-C₂₀-alkyl, C₈-C₂₀-alkenyl C₈-C₂₀-alkynyl, and R₅ is, when k>1, hydrogen, straight-chained or branched C₁-C₆-alkyl; and R₆ is, when k=1, hydrogen, straight chained or branched C₁-C₆-alkyl, C₁-C₆-alkenyl, C₁-C₆-alkynyl, and R₆ is, when k>1, straight-chained or branched C₈-C₂₀-alkyl, C₈-C₂₀-alkenyl, C₈-C₂₀-alkynyl, and the repeating unit -B-NR₄R₆ is identical to one another.

20. (CURRENTLY AMENDED) The ~~cationic cytofeetin~~ composition according to claim 18, wherein

A is bromide, iodide, dihydrogen phosphate (H₂PO₄⁻) or thiosulfate;

k is 1 or 2;

B is, when k=1, an alkandiyl bridge -(CH₂)_n, wherein n is 2, 3, or 4; and B is, when k=2, an ethylene bridge -(CH₂-CH₂)-;

R₁, R₃, R₄, are each CH₃;

R₂ is straight-chained C₁₀-C₂₀-alkyl;

R₅ is, when k=1, a straight-chained C₁₀-C₂₀-alkyl and is identical to R₂; and R₅ is, when k=2, a CH₃;

R₆ is, when k=1, a CH₃; and R₆ is, when k=2, straight-chained C₁₀-C₂₀-alkyl and is identical to R₂.

21. (CURRENTLY AMENDED) The eationic cytofeetin composition according to claims 18, 19, or 20, wherein the C₁-C₆-alkyl group is substituted with one or more halogens.

22. (CURRENTLY AMENDED) The eationic cytofeetin composition according to claim 21, wherein the halogen is fluorine.

23. (CURRENTLY AMENDED) The eationic cytofeetin composition according to claim 18, wherein the C₁-C₆-alkyl group is selected from the group consisting of methyl, ethyl, propyl, isopropyl, butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, n-pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 2,2-dimethylpropyl, 1-ethylpropyl, hexyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethyl-1-methylpropyl, and 1-ethyl-2-methyl-propyl.

24. (CURRENTLY AMENDED) The eationic cytofeetin composition according to claim 23, wherein the alkyl group is methyl, ethyl, n-propyl, or isopropyl.

25. (CURRENTLY AMENDED) The eationic cytofeetin composition according to claim 18, wherein the alkandiyil group is selected from the group consisting of methyl, ethyl, propyl, isopropyl, butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, n-pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 2,2-dimethylpropyl, 1-ethylpropyl, hexyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethyl-1-methylpropyl, and 1-ethyl-2-methyl-propyl.

26. (CURRENTLY AMENDED) The eationic cytofeetin composition according to claim 25, wherein the alkandiyil group is methyl, ethyl, n-propyl, or isopropyl.

27. (CURRENTLY AMENDED) The eationic cytofeetin composition according to claim 18, wherein C₈-C₂₀-alkyl is selected from the group consisting of octyl, decyl, undecyl, dodecyl, tridecyl, tetradecyl, pentadecyl, hexadecyl, heptadecyl, dodecadecyl, nonadecyl, and eicosyl.

28. (CURRENTLY AMENDED) The cationic cytofectin composition according to claim 18, wherein the alkenyl group is selected from the group consisting of 2-propenyl, 2-butenyl, 3-butenyl, 1-methyl-2-propenyl, 2-methyl-2-propenyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 1-methyl-2-butenyl, 2-methyl-2-butenyl, 3-methyl-2-butenyl, 1-methyl-3-butenyl, 2-methyl-3-butenyl, 3-methyl-3-butenyl, 1,1-dimethyl-2-propenyl, 1,2-dimethyl-2-propenyl, 1-ethyl-2-propenyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 1-methyl-2-pentenyl, 2-methyl-2-pentenyl, 3-methyl-2-pentenyl, 4-methyl-2-pentenyl, 1-methyl-3-pentenyl, 2-methyl-3-pentenyl, 3-methyl-3-pentenyl, 4-methyl-3-pentenyl, 1-methyl-4-pentenyl, 3-methyl-4-pentenyl, 4-methyl-4-pentenyl, 1,1-dimethyl-2-butenyl, 1,1-dimethyl-3-butenyl, 1,2-dimethyl-2-butenyl, 1,2-dimethyl-3-butenyl, 1,3-dimethyl-2-butenyl, 1,3-dimethyl-3-butenyl, 2,2-dimethyl-3-butenyl, 2,3-dimethyl-2-butenyl, 2,3-dimethyl-3-butenyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 2-ethyl-1-butenyl, 2-ethyl-2-butenyl, 2-ethyl-3-butenyl, 1,1,2,-trimethyl-2-propenyl, 1-ethyl-1-methyl-2-propenyl, and 1-ethyl-2-methyl-2-propenyl.

29. (CURRENTLY AMENDED) The cationic cytofectin composition according to claim 28, wherein the alkyl group is 2-propenyl.

30. (CURRENTLY AMENDED) The cationic cytofectin composition according to claim 18, wherein the alkynyl group is selected from the group consisting of 2-propynyl, 2-butynyl, 3-butynyl, 2-pentynyl, 3-pentynyl, 4-pentynyl, 3-methyl-2-butynyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 5-hexynyl, 3-methyl-2-pentynyl, 4-methyl-2-pentynyl, 2-methyl-3-pentynyl, 4-methyl-3-pentynyl, 1-methyl-4-pentynyl, 1,1-dimethyl-2-butynyl, 1,1-dimethyl-2-butynyl, 1,1-dimethyl-3-butynyl, 1,2-dimethyl-3-butynyl, 1,3-dimethyl-2-butynyl, 2,2-dimethyl-3-butynyl, 1-ethyl-2-butynyl, 1-ethyl-3-butynyl, 2-ethyl-3-butynyl, and 1-ethyl-1-methyl-2-propynyl.

31. (CURRENTLY AMENDED) The cationic cytofectin composition according to claim 30, wherein the alkynyl group is 2-propynyl.

32. (CURRENTLY AMENDED) The cationic cytofectin composition according to Claim 18, wherein the cationic cytofectin is selected from the group consisting of:
N,N,N"-trioctyl-N,N,N',N",N"-pentamethyl-bis-(2-ammonioethyl)-ammonium bromide;
N,N,N"-tridecyl-N,N,N',N",N"-pentamethyl-bis-(2-ammonioethyl)-ammonium bromide;
N,N',N"-tridodecyl-N,N,N',N",N"-pentamethyl-bis-(2-ammonioethyl)-ammonium bromide;

N,N',N"-tritetradecyl-N,N,N',N",N"-pentamethyl-bis-(2-ammonioethyl)-ammonium bromide; N,N',N"-trihexadecyl-N,N,N',N",N"-pentamethyl-bis-(2-ammonioethyl)-ammonium bromide; N,N',N"-trioctadecyl-N,N,N',N",N"-pentamethyl-bis-(2-ammonioethyl)-ammonium bromide; ethanediyl-1,2-bis(dimethyldecyl ammonium chloride); ethanediyl-1,2-bis(dimethyldecyl ammonium iodide); ethanediyl-1,2-bis(dimethyldecyl ammonium dihydrogenphosphate); ethanediyl-1,2-bis(dimethyldecyl ammonium thiosulfate); ethanediyl-1,2-bis(dimethyldecyl ammonium sulfate); ethanediyl-1,2-bis(dimethyldecyl ammonium oxalate); ethanediyl-1,2-bis(decyl dimethyl ammonium bromide); ethanediyl-1,2-bis(dodecyl dimethyl ammonium bromide); ethanediyl-1,2-bis(hexadecyl dimethyl ammonium bromide); ethanediyl-1,2-bis(octadecyl dimethyl ammonium bromide); propanediyl-1,3-bis(decyl dimethyl ammonium bromide); butanediyl-1,4-bis(decyl dimethyl ammonium bromide); and butanediyl-1,4-bis(octadecyl dimethylammonium bromide).

33. (NEW) The composition according to Claim 18, wherein the neutral lipid or lipid-like molecule is selected from the group consisting of dioleoylphosphatidylethanolamine (DOPE), 1,2-dioleoyloxiphosphatidylethanolamine, cholesterol, and dioleoylphosphatidylcholine (DOPC).

34. (NEW) The composition according to Claim 18, further comprising a co-lipid molecule for forming a stable composition.

35. (NEW) The composition according to Claim 34, wherein the co-lipid molecule is selected from the group consisting of lecithin, phosphatidylcholine, dioleylphosphatidylcholine (DOPC), phosphatidylethanolamine (PE), phosphatidylserine, phosphatidylglycerine, phosphatidylinositol, sphingomyeline, cephaline, cardiolipin, phosphatidic acid, cerebroside, diacetylphosphate, lysophosphatidylethanolamine, dipalmitoylphosphatidylcholine, dioleoylphosphatidylglycerol, dipalmitoylphosphatidyl-glycerol, palmitoyloleoylphosphatidylcholine, palmitoyloleoylphosphatidylethanolamine, diheptadecanoylphosphatidylethanolamine, dilauroylphosphatidylethanolamine, dimyristoylphosphatidylethanolamine, distearoylphosphatidylethanolamine, beta-linoleoylgamma-palmitoyl-phosphatidylethanolamine, and beta-oleoyl-gamma-palmitoylphosphatidylethanolamine.

36. (NEW) The composition according to Claim 18, further comprising a cell targeting component.
37. (NEW) The composition according to Claim 36, wherein the cell targeting component is a ligand selected from the group consisting of hormones, carbohydrate ligands, growth factor, neurotransmitters, fragments thereof, and modified forms thereof.
38. (NEW) The composition according to Claim 36, wherein the cell targeting component is selected from the group consisting of antibodies, lectins, peptides, proteins, carbohydrates, and glycoproteins.
39. (NEW) The composition according to Claims 37 or 38, wherein the cell targeting component is a neutral co-lipid or negatively charged co-lipid covalently linked to said exogenous compound.
40. (NEW) The composition according to Claim 18, wherein the peptide, peptide derivative, protein, or protein derivative are antigenic.
41. (NEW) The composition according to Claim 18, wherein the peptide or protein derivatives are selected from the group consisting of cyclic peptides, peptidomimetics, peptides or proteins containing non-natural amino acids, and peptides or proteins containing non-natural bonds between amino acids.
42. (NEW) The composition according to Claim 18, wherein the nucleic acid is selected from the group consisting of natural nucleic acids, synthetic nucleic acids, single-stranded nucleic acids, double-stranded nucleic acids, genomic DNA, cDNA, plasmids, DNA vectors, antisense nucleic acid, antisense RNA oligomers, ribozymes, DNA oligonucleotides, nucleosides, RNA, DNA/RNA hybrids, nucleic acids containing phosphorothioates, and nucleic acids containing phosphoramidates.
43. (NEW) The composition according to Claim 42, wherein the plasmid comprises an unmethylated CpG dinucleotide.

44. (NEW) The composition according to Claim 42, wherein the DNA oligonucleotide is an oligonucleotide that is complementary to a coding region of a gene, a 3' untranslated region of a gene, a transcription control sequence of a gene, or that comprises an unmethylated CpG dinucleotide.

45. (NEW) The composition according to Claim 18, wherein the DNA/cationic cytofectin (w/w) ratio is in the range of 2:1 to 1:5.

46. (NEW) The composition according to Claim 18, wherein the DNA/cationic cytofectin (w/w) ratio is 1:3.